

**(19) AUSTRALIAN PATENT OFFICE**

(54) Title  
**DNA-TARGETED BENZOTRIAZINE 1,4-DIOXIDES AND THEIR USE IN CANCER THERAPY**

(51)<sup>6</sup> International Patent Classification(s)  
**C07D 253/10 A61K 031/53**  
**C07D 401/12 A61P 035/00**  
**C07D 403/12**

(21) Application No: **2003265023** (22) Application Date: **2003.09.17**

(87) WIPO No: **WO04/026846**

(30) Priority Data

(31) Number (32) Date (33) Country  
**521436 2002.09.17 NZ**  
**7**

(43) Publication Date : **2004.04.08**

(43) Publication Journal Date : **2004.05.20**


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**Patent number:** AU2003265023  
**Publication date:** 2004-04-08  
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**Classification:**  
**- international:** *A61P35/00; C07D253/10; C07D401/12; C07D401/14;  
C07D403/12; C07D403/14; A61P35/00; C07D253/00;  
C07D401/00; C07D403/00; (IPC1-7): C07D253/10;  
A61K31/53; A61P35/00; C07D401/12; C07D403/12*  
**- european:** C07D253/08C; C07D401/12; C07D401/14; C07D403/12;  
C07D403/14  
**Application number:** AU20030265023 20030917  
**Priority number(s):** NZ20020521436 20020917; WO2003NZ00210  
20030917

**Also published as:**

 WO2004026846 (A1)

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Abstract not available for AU2003265023

Abstract of correspondent: **WO2004026846**

The present invention relates to DNA-targeted 1,2,4-benzotriazine- 1,4-dioxides and related analogues, to their preparation, and to their use as hypoxia-selective drugs and radiosensitizers for cancer therapy, both alone or in combination with radiation and/or other anticancer drugs.

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